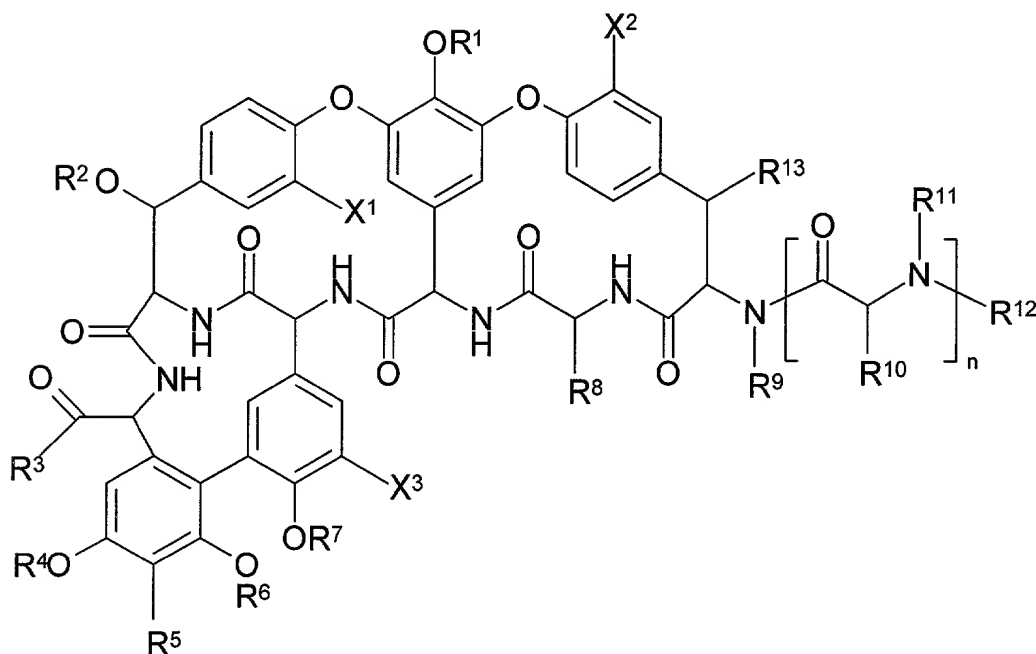


WHAT IS CLAIMED IS:

1. A glycopeptide substituted with one or more substituents each comprising one or more phosphono groups; or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof.
- 5 2. The glycopeptide of claim 1, wherein the glycopeptide is substituted at the C-terminus with a substituent comprising one or two phosphono groups.
3. The glycopeptide of claim 1, wherein the glycopeptide is substituted at the R-terminus with a substituent comprising one or two phosphono groups.
4. The glycopeptide of claim 3, wherein the substituent at the R-terminus is N-
10 (phosphonomethyl)aminomethyl; N-(2-hydroxy-2-phosphonoethyl)aminomethyl; N-carboxymethyl-N-(phosphonomethyl)aminomethyl; N,N-bis(phosphonomethyl)aminomethyl; or N-(3-phosphonopropyl)aminomethyl.

5. The glycopeptide of claim 1 which is a compound of formula I:



wherein:

R^1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and
5 $-R^a-Y-R^b-(Z)_x$; or R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

10 R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or $-O-R^c$; or R^3 is a nitrogen-linked, oxygen-linked, or sulfur-linked substituent that comprises one or more phosphono groups;

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$, or R^4 and R^5 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

- 5 R^5 is selected from the group consisting of hydrogen, halo, $-\text{CH}(R^c)-NR^cR^c$, $-\text{CH}(R^c)-NR^cR^e$, $-\text{CH}(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-\text{CH}(R^c)-R^x$, $-\text{CH}(R^c)-NR^c-R^a-C(=O)-R^x$, and a substituent that comprises one or more phosphono groups;

- 10 R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

- 15 R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

- 20 R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

- 25 R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-\text{Ar}^1-\text{O}-\text{Ar}^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R¹¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R¹² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c, -R^a-Y-R^b-(Z)_x, and -C(O)-R^a-Y-R^b-(Z)_x, or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is an N-linked amino saccharide or an N-linked heterocycle;

5 X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

-S-S-, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$,
 $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$,
 $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$,
10 $-OC(O)NR^c-$, $-C(=O)-$, and $-NR^cSO_2NR^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2; and

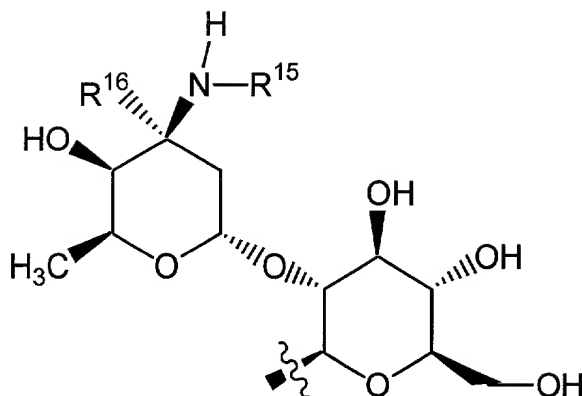
x is 1 or 2;

15 or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided at least one of R^3 and R^5 is a substituent comprising one or more phosphono groups.

6. The glycopeptide of claim 5 wherein R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)$.

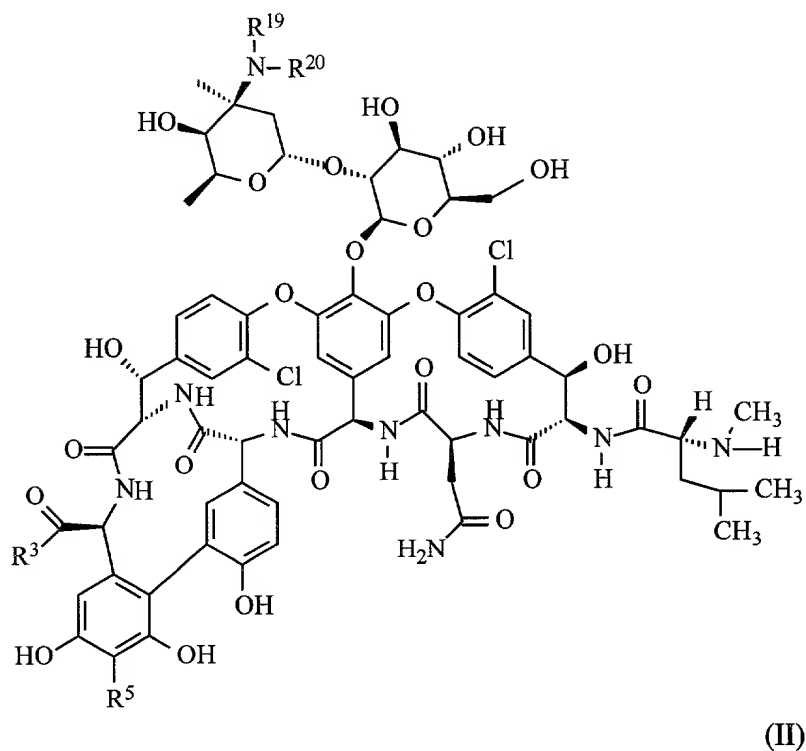
20 7. The glycopeptide of claim 5 wherein R^1 is a saccharide group of the formula:



wherein R^{15} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and R^{16} is hydrogen or methyl.

8. The glycopeptide of claim 6 wherein R^2 , R^4 , R^6 , and R^7 are each hydrogen.
9. The glycopeptide of claim 8 wherein R^3 is $-OH$.
- 5 10. The glycopeptide of claim 8 wherein R^3 is a nitrogen-linked, oxygen-linked, or sulfur-linked substituent that comprises one or more phosphono groups.
11. The glycopeptide of claim 10 wherein R^3 is a group of the formula $-O-R^a-P(O)(OH)_2$, $-S-R^a-P(O)(OH)_2$, or $-NR^c-R^a-P(O)(OH)_2$.
12. The glycopeptide of claim 8 wherein R^5 is a group of the formula
- 10 $-CH(R^{21})-N(R^c)-R^a-P(O)(OH)_2$; wherein R^{21} is hydrogen or R^d .
13. The glycopeptide of claim 12 wherein R^5 is $-CH-NH-R^a-P(O)(OH)_2$.

14. The glycopeptide of claim 5 which is a compound of formula II:



wherein:

R^{19} is hydrogen;

R^{20} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and

- 5 R^a , Y , R^b , Z , x , R^f , R^3 , and R^5 have the values defined in claim 5;
or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof;
provided at least one of R^3 and R^5 is a substituent comprising one or more
phosphono groups.

15. The glycopeptide of claim 14 wherein R^3 is $-OH$.

- 10 16. The glycopeptide of claim 14 wherein R^3 is a nitrogen-linked, oxygen-linked, or

sulfur-linked substituent that comprises one or more phosphono groups.

17. The glycopeptide of claim 14 wherein R^3 is a group of the formula -
O- R^a -P(O)(OH)₂, -S- R^a -P(O)(OH)₂, or -NR^c- R^a -P(O)(OH)₂.

18. The glycopeptide of claim 14 wherein R^5 is a group of the formula
5 -(CH(R²¹)-N(R^c)- R^a -P(O)(OH)₂); wherein R²¹ is hydrogen or R^d.

19. The glycopeptide of claim 14 wherein R²⁰ is -CH₂CH₂-NH-(CH₂)₉CH₃;
-CH₂CH₂CH₂-NH-(CH₂)₈CH₃; -CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
-CH₂CH₂-NHSO₂-(CH₂)₉CH₃; -CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
-CH₂CH₂-S-(CH₂)₈CH₃; -CH₂CH₂-S-(CH₂)₉CH₃; -CH₂CH₂-S-(CH₂)₁₀CH₃;
10 -CH₂CH₂CH₂-S-(CH₂)₈CH₃; -CH₂CH₂CH₂-S-(CH₂)₉CH₃; -CH₂CH₂CH₂-S-(CH₂)₃-
CH=CH-(CH₂)₄CH₃ (*trans*); -CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
-CH₂CH₂-S(O)-(CH₂)₉CH₃; -CH₂CH₂-S-(CH₂)₆Ph; -CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂CH₂-S-(CH₂)₈Ph; -CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[4-(CH₃)₂CHCH₂]-Ph; -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
15 -CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph; -CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph; -CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O]-Ph; -CH₂CH₂-NHSO₂-CH₂-4-[4-(4-
Ph)-Ph]-Ph; -CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C)-Ph; -CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph;
20 or -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

20. The glycopeptide of claim 14 wherein R³ is -OH; R⁵ is N-(phosphonomethyl)-
aminomethyl; R¹⁹ is hydrogen, and R²⁰ is -CH₂CH₂-NH-(CH₂)₉CH₃; or a
pharmaceutically acceptable salt thereof.

21. The glycopeptide of claim 14 wherein R^3 is -OH; R^5 is N-(phosphonomethyl)-aminomethyl; R^{19} is hydrogen, and R^{20} is $-\text{CH}_2\text{CH}_2\text{-NH-(CH}_2)_9\text{CH}_3$.
22. The glycopeptide of claim 20 which is the hydrochloride salt.
23. A pharmaceutical composition comprising a pharmaceutically acceptable carrier
5 and a therapeutically effective amount of a glycopeptide of any one of claims 1, 5, 14, and 20.
24. The pharmaceutical composition of Claim 23, which comprises a cyclodextrin.
25. The composition of claim 24 wherein the cyclodextrin is hydroxypropyl- β -cyclodextrin.
- 10 26. The composition of claim 25 which comprises from about 250 mg to about 1000 mg of the glycopeptide and from about 250 mg to about 10 g hydroxypropyl- β -cyclodextrin.
27. The composition of claim 26 wherein the weight ratio of hydroxypropyl- β -cyclodextrin to the glycopeptide is from about 1:1 to about 10:1 inclusive.
- 15 28. A method for preparing a glycopeptide as described claim 1 which is substituted at the C-terminus, comprising derivatizing a corresponding starting glycopeptide wherein the C-terminus is a carboxy group.
29. A method for preparing a glycopeptide as described claim 1 which is substituted at the R-terminus, comprising derivatizing a corresponding starting glycopeptide

wherein the R-terminus is unsubstituted.

30. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of any one of claims 1, 5, 14, or 20.

- 5 31. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of any one of claims 23.